CLAIMS

1. A pyrrolesulfonamide derivative or a salt
 2 thereof, said pyrrolesulfonamide derivative being
 3 represented by the following formula (I):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-Y \\
Z_1 \\
Z_2
\end{array}$$
(1)

5 wherein

4

6 the ring P represented by

8 means a pyrrole ring represented by the following

g structure:

in which R represents an alkyl group, a cycloalkyl

group, a cycloalkyl-alkyl group or a substituted or un-

13 substituted aralkyl group;

the dashed line indicates the presence or absence

of a bond; and, when the bond indicated by the dashed

line is present, Z_2 is not present and Z_1 represents a

hydrogen atom but, when the bond indicated by the 17 dashed line is absent, Z1 represents a hydrogen atom 18 and \mathbf{Z}_2 represents a hydroxyl group; or \mathbf{Z}_1 and \mathbf{Z}_2 are 19 combined together to represent an oxygen atom or a 20 group NOR, in which R, represents a hydrogen atom, a 21 22 substituted or unsubstituted alkyl group, a substituted 23 or unsubstituted aralkyl group or a substituted or un-24 substituted aryl group;

l represents 0 or 1;

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A represents a substituted or unsubstituted

alkylene group, a substituted or unsubstituted

alkenylene group or a substituted or unsubstituted

alkynylene group; and

Y represents a group

$$-N$$
 $W-(B)_m-D$ E_1 E_2

in which W represents CH, C= or a nitrogen atom; and, when W represents CH, m stands for 0 or 1, B represents a carbonyl group, a sulfonyl group, an alkylene group, an alkenylene group, a group -C(OH)R₂- in which R₂ represents a substituted or unsubstituted aryl group, a group -CHR₃- in which R₃ represents a substituted or unsubstituted or unsubstituted aryl group, or a substituted or un-

39 substituted cyclic or acyclic acetal group; when W 40 represents C=, m stands for 1, B represents a group

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in which the double bond is coupled with W and $R_{oldsymbol{4}}$ 42 43 represents a substituted or unsubstituted aryl group or 44 a substituted or unsubstituted aralkyl group; when W 45 represents a nitrogen atom, m stands for 0 or 1, and B 46 represents a carbonyl group, a sulfonyl group, an 47 alkylene group, an alkenylene group or a group -CHR5-48 in which R_5 represents a substituted or unsubstituted 49 aryl group; E1 and E2 each independently represents a hydrogen atom or a lower alkyl group; and D represents 50 51 a substituted or unsubstituted aromatic hydrocarbon group or a substituted or unsubstituted aromatic 52 heterocyclic group. 53

- A pyrrolesulfonamide derivative or a salt 1 thereof according to claim 1, wherein in the formula 2 (I), Z_1 represents a hydrogen atom and Z_2 represents a hydroxyl group.
- A pyrrolesulfonamide derivative or a salt 1 thereof according to claim 1, wherein in the formula 2 (I), Z_1 and Z_2 are combined together to represent an 3 oxygen atom or a group NOH. 4
- A pyrrolesulfonamide derivative or a salt 1

- thereof according to claim 1, 2 or 3, wherein in the
- formula (I), A is a trimethylene group.
- 5. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3 or 4, wherein in the
- formula (I), W represents a nitrogen atom, m stands for
- 4 0, and D represents a substituted or unsubstituted
- 5 phenyl group.
- 1 6. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3, 4 or 5, wherein in
- 3 the formula (I), E_1 and E_2 both represent hydrogen
- 4 atoms.
- 7. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3, 4, 5 or 6, wherein
- in the formula (I), the ring P represents the following
- 4 formula:

5



- 6 wherein R has the same meaning as defined above.
- 8. A process for the preparation of a pyrrole-
- sulfonamide derivative represented by the following
- 3 formula (Ia):

$$\begin{array}{c}
O_2 \\
S-N
\end{array} A-Y$$

wherein A, the ring P, Y and ℓ have the same meanings

6 as defined above, which comprises:

7 reacting a compound, which is represented by the 8 following formula (III):

9
 $X-A-X'$ (III)

10 --- wherein A has the same meaning as defined above and X

and X' represent the same or different eliminative

groups, to a compound represented by the following for-

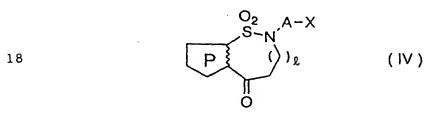
13 mula (II):

$$\begin{array}{c}
O_2 \\
S-NH \\
O\end{array} (II)$$

wherein the ring P and ℓ have the same meanings as

defined above, thereby obtaining a compound represented

17 by the following formula (IV):



wherein A, the ring P, X and ℓ have the same meanings

20 as defined above; and then

21 reacting a nitrogen-containing compound

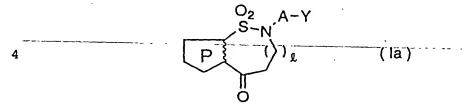
22 represented by the following formula (V):

24 wherein Y has the same meaning as defined above.

9. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (Ia):



wherein A, the ring P, Y and ℓ have the same meanings

6 as defined above, which comprises:

7 reacting a compound, which is represented by the

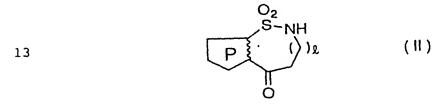
8 following formula (VI):

$$9 X-A-Y (VI)$$

10 wherein A, X and Y have the same meanings as defined

11 above, to a compound represented by the following for-

12 mula (II):



wherein the ring P and ℓ have the same meanings as

- 15 defined above.
 - 1 10. A process for the preparation of a pyrrole-
 - 2 sulfonamide derivative represented by the following
 - 3 formula (Ic):

$$\begin{array}{cccc}
O_2 & A - Y' \\
S - N & O_2 & A - Y'
\end{array}$$

$$\begin{array}{ccccc}
P & (Ic) & (Ic) & (Ic)
\end{array}$$

5 wherein A, the ring P, R₁ and ℓ have the same meanings
6 —-as-defined above, and Y' represents a group

- 8 in which when W represents CH, B' represents a sulfonyl
- group, an alkylene group, an alkenylene group, a group
- 10 -C(OH)R2- in which R2 represents a substituted or un-
- 11 substituted aryl group, a group -CHR3- in which R3
- represents a substituted or unsubstituted aryl group,
- or a substituted or unsubstituted cyclic or acyclic
- acetal group; when W represents C=, B' represents a
- 15 group

$$= \begin{pmatrix} R_4 \\ \\ \end{pmatrix}$$

in which the double bond is coupled with W and R_4

18 represents a substituted or unsubstituted aryl group or

19 a substituted or unsubstituted aralkyl group; when W

20 represents a nitrogen atom, B' represents a carbonyl

21 group, a sulfonyl group, an alkylene group, an

22 alkenylene group or a group -CHR5- in which R5

23 represents a substituted or unsubstituted aryl group;

24 and D, E_1 , E_2 and m have the same meanings as defined

25 above, which comprises:

reacting a hydroxylamine or a derivative thereof,

27 which is represented by the following formula (VII):

$$NH_2OR_1$$
 (VII)

29 wherein R₁ has the same meaning as defined above, with

30 a pyrrolesulfonamide derivative represented by the fol-

31 lowing formula (Ib):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$A-Y'$$

$$O_2$$

$$O_3$$

$$O_4$$

$$O_4$$

$$O_4$$

$$O_4$$

$$O_5$$

$$O_6$$

$$O_7$$

$$O_8$$

wherein A, the ring P, Y' and ℓ have the same meanings

34 as defined above.

1 11. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

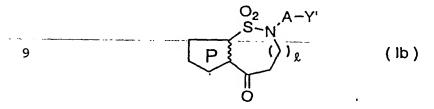
3 formula (Id):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-Y' \\
OH
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

- 5 wherein A, the ring P, Y' and & have the same meanings
- as defined above, which comprises:
- 7 reducing a pyrrolesulfonamide derivative
- g represented by the following formula (Ib):



- 10 wherein A, the ring P, Y' and & have the same meanings
- 11 as defined above.
- 1 12. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (Ie):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

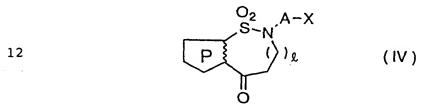
$$\begin{array}{c}
A-Y \\
NOR_1
\end{array}$$
(le)

- 5 wherein A, the ring P, R₁, Y and & have the same mean-
- 6 ings as defined above, which comprises:
- 7 reacting a hydroxylamine or a derivative thereof,
- 8 which is represented by the following formula (VII):

9 NH₂OR₁ (VII)

10 wherein R₁ has the same meaning as defined above, to a

11 compound represented by the following formula (IV):



wherein A, the ring P, X and & have the same meanings

14 as defined above, thereby obtaining a compound

15 represented-by-the-following formula (VIII):

$$\begin{array}{c|c}
O_2 & A - X \\
S - N & O_2 \\
O_1 & O_2 \\
O_2 & O_3 \\
O_4 & O_4 \\
O_1 & O_4 \\
O_2 & O_4 \\
O_3 & O_4 \\
O_4 & O_4 \\
O_4 & O_4 \\
O_5 & O_4 \\
O_6 & O_4 \\
O_7 & O_8 \\
O_8 & O_8 \\
O_8$$

wherein A, the ring P, R_1 , X and ℓ have the same mean-

18 ings as defined above; and then

19 reacting a nitrogen-containing compound

20 represented by the following formula (V):

$$H-Y$$
 (V)

wherein Y has the same meaning as defined above.

1 13. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (If):

4
$$P \longrightarrow A-Y$$
OP (If)

5 wherein A, the ring P, Y and ℓ have the same meanings

6 as defined above, which comprises:

7 reducing a compound represented by the following

8 formula (IV):

10 wherein A, the ring P, X and & have the same meanings

11 as defined above, thereby obtaining a compound

12 represented by the following formula (IX):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-X \\
OH
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

14 wherein A, the ring P, X and ℓ have the same meanings

15 as defined above; and then

16 reacting a nitrogen-containing compound

17 represented by the following formula (V):

$$H-Y \qquad \qquad (V)$$

19 wherein Y has the same meaning as defined above.

- 1 14. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (Ig):

$$\begin{array}{ccc}
O_2 & A-Y \\
S-N & O_1
\end{array}$$
(1g)

- 5 wherein A, the ring P, Y and & have the same meanings
- 6 as defined above, which comprises:
- 5 subjecting a compound, which is represented by
- 8 the following formula (IX):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-X \\
OH
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

- 10 wherein A, the ring P, X and & have the same meanings
- 11 as defined above, to dehydration treatment, thereby ob-
- 12 taining a compound represented by the following formula
- 13 (X):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$
(X)

wherein A, the ring P, X and & have the same meanings

16 as defined above; and then

17 reacting a nitrogen-containing compound

18 represented by the following formula (V):

$$H-Y (V)$$

20 wherein Y has the same meaning as defined above.

1 15. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (Ig):

$$\begin{array}{ccc}
O_2 & A-Y \\
S-N & O_2
\end{array}$$

$$\begin{array}{ccc}
A-Y & O_2
\end{array}$$

$$\begin{array}{ccc}
A-Y & O_2
\end{array}$$

$$\begin{array}{ccc}
A-Y & O_2
\end{array}$$

5 wherein A, the ring P, Y and ℓ have the same meanings

6 as defined above, which comprises:

5 subjecting a compound, which is represented by

8 the following formula (If):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$
(If)

10 wherein A, the ring P, Y and & have the same meanings

11 as defined above, to dehydration treatment.

1 16. A compound represented by the following for-

2 mula (II):

$$\begin{array}{c|c}
O_2 \\
S-NH \\
O\end{array}$$
(II)

4 wherein the ring P and & have the same meanings as

5 defined above.

1 17. A compound represented by the following for-

2 mula (XI):

3
$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-X \\
C-N
\end{array}$$

$$\begin{array}{c}
Z_1 \\
Z_2
\end{array}$$

4 wherein the dashed line, A, the ring P, X, Z_1 , Z_2 and

5 t have the same meanings as defined above.

1 18. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (IIa) or (IIa'):

$$\begin{array}{c}
O_2\\
S-NH\\
R-N
\end{array}$$
(Ila)
$$(Ila')$$

5 wherein R and ℓ have the same meanings as defined

6 above, which comprises:

7 converting a 1-substituted-pyrrole-3-sulfonic

8 acid or a salt thereof, which is represented by the

9 following formula (XII):

(XII)

11 wherein M represents a hydrogen ion, an alkali metal

12 ion, an alkaline earth metal ion or a quaternary am-

monium ion, p stands for 1 when M represents a hydrogen

ion, an alkali metal ion or a quaternary ammonium ion

or p stands for 2 when M represents an alkaline earth

metal ion, q stands for 0 or 1, and R has the same

17 meaning as defined above, into a compound represented

18 by the following formula (XIII):

$$\begin{array}{c|c}
 & SO_2X'' \\
 & N \\
 & R
\end{array}$$
(XIII)

20 wherein X" represents a chlorine atom or a bromine

21 atom;

causing glycine or β -alanine or a derivative

23 thereof, which is represented by the following formula

24 (XIV):

 $NH_2(CH_2), CH_2COOR_6 \qquad (XIV)$

26 wherein R₆ represents a hydrogen atom or a carboxyl-

- 27 protecting group, to act, thereby obtaining a compound
- 28 represented by the following formula (XV):

$$\begin{array}{c|c}
 & O_2 \\
 & S^2 \text{ NH} \\
 & O_2 \\
 & O_$$

- 30 wherein R, R_6 and ℓ have the same meanings as defined
- 31 above; and then
- 32 subjecting said compound to ring closure.
- 1 19. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (IIa) or (IIa'):

- 5 wherein R and ℓ have the same meanings as defined
- 6 above, which comprises:
- 7 reacting a compound, which is represented by the
- 8 formula (XVIa) or (XVIb):
- 9 R-X''' (XVIa) $(RO)_2SO_2$ (XVIb)
- wherein X"' represents an eliminative group and R has
- the same meaning as defined above, with a compound
- represented by the following formula (IIb) or (IIb'):

13
$$\begin{array}{c}
O_2 \\
S-NH \\
N \\
N
\end{array}$$

$$\begin{array}{c}
O_2 \\
S-NH \\
N
\end{array}$$

$$\begin{array}{c}
O_2 \\
S-NH \\
N
\end{array}$$

$$\begin{array}{c}
(N) \\
N
\end{array}$$

- wherein ℓ has the same meaning as defined above.
- 1 20. A compound represented by the following for-
- 2 mula (XV):

- 4 wherein R, R_6 and ℓ have the same meanings as defined
- 5 above.
- 1 21. A compound represented by the following for-
- 2 nula (XII):

$$\left(\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array}\right)_{p} \cdot M \cdot (H_{2}O)_{q}$$

(XII)

- 4 wherein M, R, p and q have the same meanings as defined
- 5 above.
- 1 22. A compound according to claim 21, wherein in
- the formula (XII), R is a methyl group, M is a sodium
- 3 ion, p is 1, and q is 0 or 1.

- 1 23. A process for the preparation of a
- 2 1-substituted-pyrrole-3-sulfonic acid or a salt there-
- of, which comprises treating a 1-substituted-pyrrole
- 4 with sulfur trioxide pyridine complex.
- 1 24. A process for the preparation of a compound
- 2 represented by the following formula (XII):

$$\left(\begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \end{array}\right)_{p} \cdot M \cdot (H_{2}O)_{q}$$

- wherein M, R, p and q have the same meanings as defined
- above, which comprises treating a compound, which is
- 6 represented by the following formula (XVII):

$$\begin{array}{c|c}
7 & & & \\
\hline
N & SnBu_3 \\
\hline
R
\end{array} (XVII)$$

- 8 wherein R has the same meaning as defined above, with
- 9 trimethylsilyl chlorosulfonate, followed by alkali
- 10 hydrolysis.
- 1 25. A pharmaceutical comprising, as an effective
- 2 ingredient, a pyrrolesulfonamide derivative or a salt
- 3 thereof according to claim 1.
- 26. A therapeutic for circulatory diseases, com-
- 2 prising as an effective ingredient a pyrrolesulfonamide
- 3 derivative or a sait thereof according to claim 1.

- 1 27. A serotonin-2 receptor antagonist, comprising
- 2 as an effective ingredient a pyrrolesulfonamide deriva-
- 3 tive or a salt thereof according to claim 1.